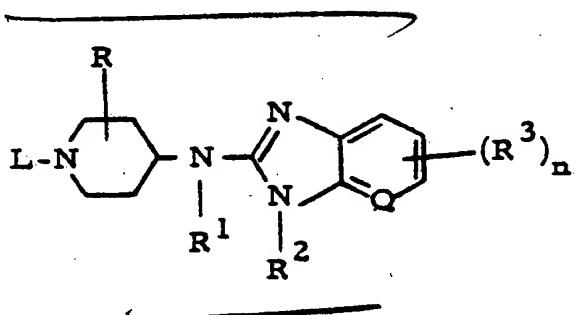


WHAT IS CLAIMED IS:

1 1. A chemical compound selected from the group consisting
 2 of a N-heterocyclyl-4-piperidinamine having the formula



3 and the pharmaceutically acceptable acid addition salts thereof,
 4 wherein

5 R is a member selected from the group consisting of hydrogen and
 6 lower alkyl;

7 R¹ is a member selected from the group consisting of hydrogen,
 8 lower alkyl, cycloalkyl, aryllower alkyl and lower alkanoyl;

9 R² is a member selected from the group consisting of hydrogen,
 10 alkyl having from 1 to 10 carbon atoms, aryl, cycloalkyl and mono- ▲
 11 and diaryl(lower alkyl);

12 R³ is a member independently selected from the group consisting of,
 13 halo, lower alkyl, lower alkyloxy and trifluoromethyl;

14 n is an integer of from 0 to 2 inclusive;

15 Q is a member selected from the group consisting of CH and N; and

16 L is a member selected from the group consisting of lower alkyl,
 17 which is optionally substituted with up to 3 substituents each in-
 18 dependently selected from the group consisting of halo, cyano,
 19 hydroxy, isothiocyanato, lower alkyloxy, aryl, aryloxy, arylthio,
 20 arylsulfonyl, amino; lower alkenyl; aryllower alkenyl; cycloalkyl,
 21 being optionally substituted with a cyano and/or an aryl group;
 22 1-(aryllower alkyl)-1H-benzimidazol-2-yl; and a radical of the
 23 formula $Z-C_m H_{2m}-$, wherein

24 P₁ m is an integer of from 1 to 6 inclusive; and

25 P₂ Z is a member selected from the group consisting of 4, 5-dihydro-5-oxo-1H-tetrazol-1-yl, being optionally substituted in its 4-position by an aryl radical or a lower alkyl radical; 2, 3-dihydro-1, 4-benzodioxin-2-yl; 2, 3-dihydro-1, 4-benzodioxin-6-yl; 2, 3-dihydro-2-oxo-1H-benzimidazol-1-yl; 2, 3-dihydro-3-oxo-4H-benzoxazin-4-yl; (10, 11-dihydro-5H-dibenzo[a, d]cyclohepten-5-ylidene)methyl; 4-morpholinyl; 1-piperidinyl; 1-pyrrolidinyl; a radical of the formula T-N(R⁴)-, wherein

34 P₃ R⁴ is a member selected from the group consisting
 35 of hydrogen, lower alkyl and aryllower alkyl; and

36 P₄ T is a member selected from the group consisting of
 37 lower alkyl, aryl, aryllower alkyl, 1H-benz-
 38 imidazol-2-yl; and

39 OGDOP P₅ a radical of the formula $W-C(=O)-(X)_s-$, wherein

40 P₆ s is the integer 0 or 1;

41 X is a member selected from the group consisting
42 of O and $\text{N}(\text{R}^5)$ -, said R^5 being a member selected
43 from the group consisting of hydrogen, lower alkyl,
44 aryllower alkyl, lower alkanoyl and aroyl; and

45 W is a member selected from the group consisting
46 of lower alkyl, aryl, aryllower alkyl, amino, aryl-
47 amino, mono- and di(lower alkyl)amino, mono- and
48 di(aryllower alkyl)amino, 1-piperidinyl, 1-pyrroli-
49 dinyl and 4-morpholinyl;

50 wherein aryl as used in the foregoing definitions, is a member selec-
51 ted from the group consisting of phenyl, substituted phenyl, naphtha-
52 lenyl, thienyl, halothienyl, (lower alkyl)thienyl, pyridinyl, mono-
53 and di(lower alkyl)pyridinyl, furanyl and 1-(lower alkyl)pyrrolyl;
54 wherein said substituted phenyl is phenyl having from 1 to 3 sub-
55 stituents each independently selected from the group consisting
56 of halo, hydroxy, nitro, cyano, trifluoromethyl, lower alkyl, lower
57 alkylthio, lower alkylsulfonyl, lower alkylsulfonyllower alkyl,
58 phenyllower alkylsulfonyl, phenylsulfonyllower alkyl, amino, mono-
59 and di-(lower alkyl)amino, lower alkanoyl, a radical of the formula
60 $\text{R}_6^6-\text{C}_\text{n}\text{H}_{2p}-\text{O}-$, wherein

61 p is an integer of from 1 to 6 inclusive; and

62 R^6 is a member selected from the group consisting
63 of hydrogen, amino, cyano, phenyl, aminocarbonyl,
64 mono- and di(lower alkyl)aminocarbonyl, lower alkyl-
65 oxycarbonyl, phenyllower alkylloxycarbonyl, 4-morpho-
66 linylcarbonyl, 1-piperidinylcarbonyl and 1-pyrroli-
67 dinylcarbonyl, and

68 a radical of the formula R⁷-O-, wherein

69 R⁷ is a member selected from the group consisting
 70 of alkanoyl, phenylcarbonyl, phenyllower alkylcarbonyl,
 71 lower alkyloxycarbonyl, phenyllower alkyloxycarbonyl,
 72 aminocarbonyl, phenylaminocarbonyl, mono- and di-
 73 (lower alkyl)aminocarbonyl,
 74 wherein said phenyl in the definition of said R⁷ may
 75 be optionally substituted with up to 3 substituents each
 76 independently selected from the group consisting of
 77 halo, cyano, nitro, lower alkyl and lower alkyloxy; and

78 wherein said aroyl in the definition of said L represents arylcarbonyl
 79 wherein said aryl is as defined hereabove.

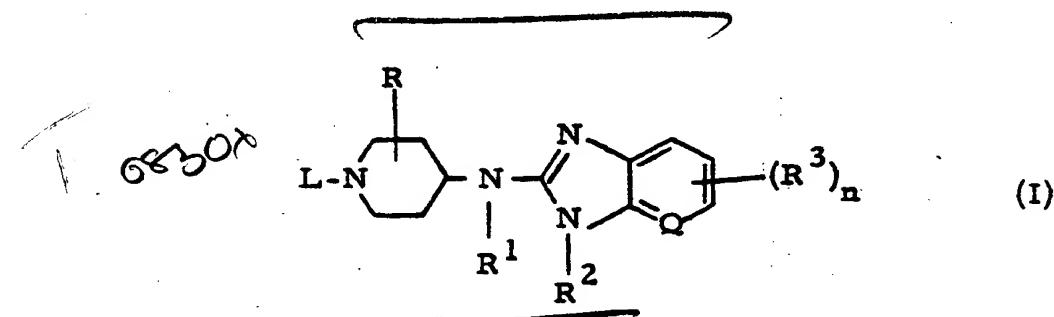
1 2. A chemical compound selected from the group consisting of
 2 1-(4-fluorophenylmethyl)-N-{1-2-(4-methoxyphenyl)ethyl}benzimidazol-2-amine
 3 piperidinyl}-1H- benzimidazol-2-amine and the pharmaceutically
 4 acceptable acid addition salts thereof.

1 3. A chemical compound selected from the group consisting of
 2 4-2-{4-1-(4-fluorophenylmethyl)-1H-benzimidazol-2-ylamino}ethylphenol
 3 piperidinyl} ethylphenol and the pharmaceutically acceptable acid
 4 addition salts thereof.

1 4. A chemical compound selected from the group consisting of
 2 {4-2-{4-1-(4-fluorophenylmethyl)-1H-benzimidazol-2-ylamino}ethylphenyl} benzeneacetate
 3 1-piperidinyl {ethylphenyl} benzeneacetate and the pharmaceutically
 4 acceptable acid addition salts thereof.

1 5. A chemical compound selected from the group consisting of
 2 {4-2-{4-1-(4-fluorophenylmethyl)-1H-benzimidazol-2-ylamino}ethylphenoxyl}acetonitrile
 3 1-piperidinyl {ethylphenoxyl}acetonitrile and the pharmaceutically accep-
 4 table acid addition salts thereof.

1 6. An antihistaminic pharmaceutical composition comprising
 2 an inert carrier material and as an active ingredient an effective
 3 antihistaminic amount of a chemical compound selected from the
 4 group consisting of a N-heterocycll-4-piperidinamine having the
 5 formula



6 and the pharmaceutically acceptable acid addition salts thereof,
 7 wherein

8 R is a member selected from the group consisting of hydrogen and
 9 lower alkyl;

10 R¹ is a member selected from the group consisting of hydrogen,
 11 lower alkyl, cycloalkyl, aryl lower alkyl and lower alkanoyl;

12 R² is a member selected from the group consisting of hydrogen,
 13 alkyl having from 1 to 10 carbon atoms, aryl, cycloalkyl and mono-
 14 and diaryl(lower alkyl);

15 R³ is a member independently selected from the group consisting of
 16 halo, lower alkyl, lower alkyloxy, trifluoromethyl;

17 n is an integer of from 0 to 2 inclusive;

18 Q is a member selected from the group consisting of CH and N; and

19 L is a member selected from the group consisting of lower alkyl,
 20 which is optionally substituted with up to 3 substituents each in-
 21 dependently selected from the group consisting of halo, cyano,
 22 hydroxy, isothiocyanato, lower alkyloxy, aryl, aryloxy, arylthio,
 23 arylsulfonyl, amino; lower alkenyl; aryllower alkenyl; cycloalkyl,
 24 being optionally substituted with a cyano and/or an aryl group;
 25 1-(aryllower alkyl)-1H-benzimidazol-2-yl; and a radical of the
 26 formula $Z-C_m H_{2m}-$, wherein

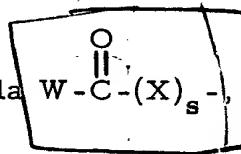
27 \textcircled{P} m is an integer of from 1 to 6 inclusive; and

28 Z is a member selected from the group consisting of 4, 5-
 29 dihydro-5-oxo-1H-tetrazol-1-yl, being optionally substituted
 30 in its 4-position by an aryl radical or a lower alkyl radical;
 31 2, 3-dihydro-1, 4-benzodioxin-2-yl; 2, 3-dihydro-1, 4-benzo-
 32 dioxin-6-yl; 2, 3-dihydro-2-oxo-1H-benzimidazol-1-yl; 2, 3-
 33 dihydro-3-oxo-4H-benzoxazin-4-yl; (10, 11-dihydro-5H-di-
 34 \textcircled{S} benzo[a, d]cyclohepten-5-ylidene)methyl; 4-morpholinyl;
 35 1-piperidinyl; 1-pyrrolidinyl; a radical of the formula
 36 $T-N(R^4)^4-$, wherein

37 \textcircled{P} R^4 is a member selected from the group consisting
 38 of hydrogen, lower alkyl and aryllower alkyl; and

39 \textcircled{P} T is a member selected from the group consisting
 40 of lower alkyl, aryl, aryllower alkyl, 1H-benz-
 41 imidazol-2-yl; and

42 $\textcircled{F. OXID}$ $\textcircled{R2110}$ \textcircled{P} a radical of the formula $W-C-(X)_s-$, wherein



43 \textcircled{P} s is the integer 0 or 1;

44 X is a member selected from the group consisting
 45 of O and -N(R⁵)-, said R⁵ being a member selected
 46 from the group consisting of hydrogen, lower alkyl,
 47 arylower alkyl, lower alkanoyl and aroyl; and

48 W is a member selected from the group consisting
 49 of lower alkyl, aryl, arylower alkyl, amino, aryl-
 50 amino, mono- and di(lower alkyl)amino, mono- and
 51 di(aryllower alkyl)amino, 1-piperidinyl, 1-pyrroli-
 52 dinyl and 4-morpholinyl;

53 wherein aryl as used in the foregoing definitions, is a member selec-
 54 ted from the group consisting of phenyl, substituted phenyl, naphtha-
 55 lenyl, thienyl, halothienyl, (lower alkyl)thienyl, pyridinyl, mono-
 56 and di(lower alkyloxy)pyridinyl, furanyl and 1-(lower alkyl)pyrrolyl;
 57 wherein said substituted phenyl is phenyl having from 1 to 3 sub-
 58 stituents each independently selected from the group consisting
 59 of halo, hydroxy, nitro, cyano, trifluoromethyl, lower alkyl, lower
 60 alkylthio, lower alkylsulfonyl, lower alkylsulfonyl lower alkyl,
 61 phenyllower alkylsulfonyl, phenylsulfonyllower alkyl, amino, mono-
 62 and di-(lower alkyl)amino, lower alkanoyl, a radical of the formula
 63 R⁶_p-C₂H_{2p}-O-, wherein

64 p is an integer of from 1 to 6 inclusive; and

65 R⁶ is a member selected from the group consisting
 66 of hydrogen, amino, cyano, phenyl, aminocarbonyl,
 67 mono- and di(lower alkyl)aminocarbonyl, lower alkyl-
 68 oxycarbonyl, phenyllower alkyloxycarbonyl, 4-morpho-
 69 linylcarbonyl, 1-piperidinylcarbonyl and 1-pyrroli-
 70 dinylcarbonyl, lower alkenyl; and

71 a radical of the formula R⁷-O-, wherein

72 R⁷ is a member selected from the group consisting
 73 of alkanoyl, phenylcarbonyl, phenyllower alkylcarbonyl,
 74 lower alkyloxycarbonyl, phenyllower alkyloxycarbonyl,
 75 aminocarbonyl, phenylaminocarbonyl, mono- and di-
 76 (lower alkyl)aminocarbonyl,
 77 wherein said phenyl in the definition of said R⁷ may
 78 be optionally substituted with up to 3 substituents each
 79 independently selected from the group consisting of
 80 halo, cyano, nitro, lower alkyl and lower alkyloxy; and

81 wherein said aroyl in the definition of said L represents arylcarbonyl
 82 wherein said aryl is as defined hereabove.

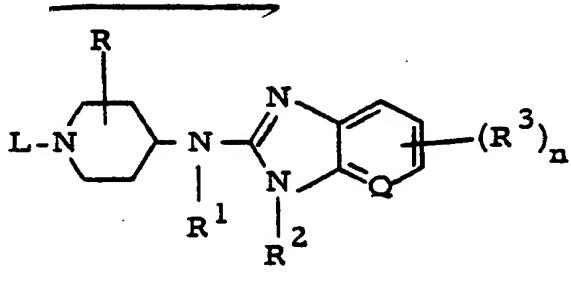
1 7. An antihistaminic pharmaceutical composition comprising
 2 an inert carrier material and as an active ingredient an effective
 3 antihistaminic amount of a chemical compound selected from the
 4 group consisting of 1-(4-fluorophenylmethyl)-N-{1-[2-(4-methoxy-
 5 phenyl)ethyl]-4-piperidinyl}-1H-benzimidazol-2-amine and the
 6 pharmaceutically acceptable acid addition salts thereof.

1 8. An antihistaminic pharmaceutical composition comprising
 2 an inert carrier material and as an active ingredient an effective
 3 antihistaminic amount of a chemical compound selected from the
 4 group consisting of 4-[2-{4-[1-(4-fluorophenylmethyl)-1H-benz-
 5 imidazol-2-ylamino]-1-piperidinyl} ethyl]phenol and the pharma-
 6 ceutically acceptable acid addition salts thereof.

1 9. An antihistaminic pharmaceutical composition comprising
 2 an inert carrier material and as an active ingredient an effective
 3 antihistaminic amount of a chemical compound selected from the
 4 group consisting of {4-[2-{4-[1-(4-fluorophenylmethyl)-1H-benz-
 5 imidazol-2-ylamino]-1-piperidinyl} ethyl]phenyl} benzeneacetate and
 6 the pharmaceutically acceptable acid addition salts thereof.

1 10. An antihistaminic pharmaceutical composition comprising
 2 an inert carrier material and as an active ingredient an effective
 3 antihistaminic amount of a chemical compound selected from the
 4 group consisting of {4-[2-{4-[1-(4-fluorophenylmethyl)-1H-
 5 benzimidazol-2-ylamino]-1-piperidinyl} ethyl]phenoxy}acetonitrile and
 6 the pharmaceutically acceptable acid addition salts thereof.

1 11. A method to prevent the release of histamine in warm-
 2 blooded animals, which comprises the systemic administration to
 3 said animals of an effective antihistaminic amount of a chemical
 4 compound selected from the group consisting of a N-heterocycl-
 5 4-piperidinamine having the formula



6 and the pharmaceutically acceptable acid addition salts thereof,
 7 wherein

8 R is a member selected from the group consisting of hydrogen and
 9 lower alkyl;

10 R¹ is a member selected from the group consisting of hydrogen,
 11 lower alkyl, cycloalkyl, aryl lower alkyl and lower alkanoyl;

12 R² is a member selected from the group consisting of hydrogen,
13 alkyl having from 1 to 10 carbon atoms, aryl, cycloalkyl and mono-
14 and diaryl(lower alkyl);

15 R³ is a member independently selected from the group consisting of
16 halo, lower alkyl, lower alkyloxy, trifluoromethyl;

17 n is an integer of from 0 to 2 inclusive;

18 Q is a member selected from the group consisting of CH and N; and

19 L is a member selected from the group consisting of lower alkyl,
20 which is optionally substituted with up to 3 substituents each in-
21 dependently selected from the group consisting of halo, cyano,
22 hydroxy, isothiocyanato, lower alkyloxy, aryl, aryloxy, arylthio,
23 arylsulfonyl, amino; lower alkenyl; aryllower alkenyl; cycloalkyl,
24 being optionally substituted with a cyano and/or an aryl group;
25 1-(aryllower alkyl)-1H-benzimidazol-2-yl; and a radical of the
26 formula Z-C_mH_{2m}-, wherein

27 m is an integer of from 1 to 6 inclusive; and

28 Z is a member selected from the group consisting of 4, 5-,
29 dihydro-5-oxo-1H-tetrazol-1-yl, being optionally substituted
30 in its 4-position by an aryl radical or a lower alkyl radical;
31 2, 3-dihydro-1, 4-benzodioxin-2-yl; 2, 3-dihydro-1, 4-benzo-
32 dioxin-6-yl; 2, 3-dihydro-2-oxo-1H-benzimidazol-1-yl; 2, 3-
33 dihydro-3-oxo-4H-benzoxazin-4-yl; (10, 11-dihydro-5H-di-
34 benzo[a, d]cyclohepten-5-ylidene)methyl; 4-morpholinyl;
35 1-piperidinyl; 1-pyrrolidinyl; a radical of the formula
36 T-N(R⁴)-, wherein

37 R⁴ is a member selected from the group consisting
38 of hydrogen, lower alkyl and aryllower alkyl; and

39 T is a member selected from the group consisting
 40 of lower alkyl, aryl, aryloower alkyl, 1H-henz-
 41 imidazol-2-yl; and

42 P a radical of the formula $\boxed{\begin{array}{c} \text{O} \\ \parallel \\ \text{W}-\text{C}-(\text{X})_s-\end{array}}$, wherein

43 P $\text{T}_{\text{O}}\text{S}\text{A}\text{O}\text{P}$ s is the integer 0 or 1;

44 X is a member selected from the group consisting
 45 of O and $-\text{N}(\text{R}^5)_2$, said R^5 being a member selected
 46 from the group consisting of hydrogen, lower alkyl,
 47 aryloower alkyl, lower alkanoyl and aroyl; and

48 W is a member selected from the group consisting
 49 of lower alkyl, aryl, aryloower alkyl, amino, aryl-
 50 amino, mono- and di(lower alkyl)amino, mono- and
 51 di(aryloower alkyl)amino, 1-piperidinyl, 1-pyrroli-
 52 dinyl and 4-morpholinyl;

53 wherein aryl as used in the foregoing definitions, is a member selec-
 54 ted from the group consisting of phenyl, substituted phenyl, naphtha-
 55 lenyl, thienyl, halothienyl, (lower alkyl)thienyl, pyridinyl, mono-
 56 and di(lower alkyloxy)pyridinyl, furanyl and 1-(lower alkyl)pyrrolyl;
 57 wherein said substituted phenyl is phenyl having from 1 to 3 sub-
 58 stituents each independently selected from the group consisting
 59 of halo, hydroxy, nitro, cyano, trifluoromethyl, lower alkyl, lower
 60 alkylthio, lower alkylsulfonyl, lower alkylsulfonyllower alkyl,
 61 phenyllower alkylsulfonyl, phenylsulfonyllower alkyl, amino, mono-
 62 and di-(lower alkyl)amino, lower alkanoyl, a radical of the formula
 63 $\text{R}_{\text{p}}^6-\text{C}_{\text{p}}^{\text{H}_2\text{p}}-\text{O}-$, wherein

64

R₂ p is an integer of from 1 to 6 inclusive; and

65.

R₆ is a member selected from the group consisting of hydrogen, amino, cyano, phenyl, aminocarbonyl, mono- and di(lower alkyl)aminocarbonyl, lower alkyl-oxycarbonyl, phenyllower alkyloxycarbonyl, 4-morpholinylcarbonyl, 1-piperidinylcarbonyl and 1-pyrrolidinylcarbonyl, lower alkenyl; and

66

67

68

69

70

R₁ a radical of the formula R⁷-O-, wherein

72

R⁷ is a member selected from the group consisting of alkanoyl, phenylcarbonyl, phenyllower alkylcarbonyl, lower alkyloxycarbonyl, phenyllower alkyloxycarbonyl, aminocarbonyl, phenylaminocarbonyl, mono- and di-(lower alkyl)aminocarbonyl,

73

74

75

76

77

78

79

80

wherein said phenyl in the definition of said R⁷ may be optionally substituted with up to 3 substituents each independently selected from the group consisting of halo, cyano, nitro, lower alkyl and lower alkyloxy; and

P₈₁

wherein said aroyl in the definition of said L represents arylcarbonyl wherein said aryl is as defined hereabove.

82

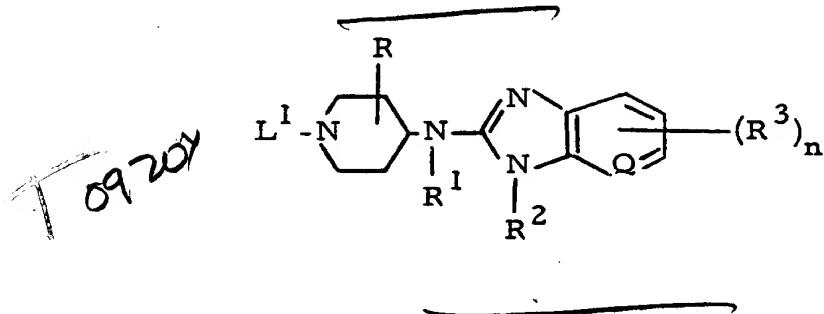
1 12. A method to prevent the release of histamine in warm-
2 blooded animals, which comprises the systemic administration to
3 said animals of an effective antihistaminic amount of a chemical
4 compound selected from the group consisting of 1-(4-fluorophenyl-
5 methyl)-N-{1-2-(4-methoxyphenyl)ethyl}-4-piperidinyl {1H-}
6 benzimidazol-2-amine and the pharmaceutically acceptable acid
7 addition salts thereof.

1 13. A method to prevent the release of histamine in warm-
2 blooded animals, which comprises the systemic administration to
3 said animals of an effective antihistaminic amount of a chemical
4 compound selected from the group consisting of 4-2-{4-1-(4-
5 fluorophenylmethyl)-1H-benzimidazol-2-ylamino}-1-piperidinyl(-)
6 ethylphenol and the pharmaceutically acceptable acid addition
7 salts thereof.

1 14. A method to prevent the release of histamine in warm-
2 blooded animals, which comprises the systemic administration to
3 said animals of an effective antihistaminic amount of a chemical
4 compound selected from the group consisting of {4-2-{4-1-(4-
5 fluorophenylmethyl)-1H-benzimidazol-2-ylamino}-1-piperidinyl}-
6 ethylphenyl} benzeneacetate and the pharmaceutically acceptable
7 acid addition salts thereof.

1 15. A method to prevent the release of histamine in warm-
2 blooded animals, which comprises the systemic administration to
3 said animals of an effective antihistaminic amount of a chemical
4 compound selected from the group consisting of {4-2-{4-1-(4-
5 fluorophenylmethyl)-1H-benzimidazol-2-ylamino}-1-piperidinyl}-
6 ethylphenoxy} acetonitrile and the pharmaceutically acceptable
7 acid addition salts thereof.

1 16. A chemical compound having the formula



2 wherein:

- 3 L^1 is a member selected from the group consisting of hydrogen, lower alkyloxycarbonyl and phenylmethoxycarbonyl;
- 5 R is a member selected from the group consisting of hydrogen and lower alkyl;
- 7 R^1 is a member selected from the group consisting of hydrogen, lower alkyl, cycloalkyl, aryllower alkyl and lower alkanoyl;
- 9 R^2 is a member selected from the group consisting of hydrogen, alkyl having from 1 to 10 carbon atoms, aryl, cycloalkyl and mono- and diaryl(lower alkyl);
- 12 R^3 is a member independently selected from the group consisting of, halo, lower alkyl, lower alkyloxy, trifluoromethyl;
- 14 n is an integer of from 0 to 2 inclusive;
- 15 Q is a member selected from the group consisting of CH and N; and

16 wherein aryl as used in the foregoing definitions, is a member selec-
 17 ted from the group consisting of phenyl, substituted phenyl, naphtha-
 18 lenyl, thienyl, halothienyl, (lower alkyl)thienyl, pyridinyl, mono-
 19 and di(lower alkyl)pyridinyl, furanyl and 1-(lower alkyl)pyrrolyl;
 20 wherein said substituted phenyl is phenyl having from 1 to 3 sub-
 21 stituents each independently selected from the group consisting
 22 of halo, hydroxy, nitro, cyano, trifluoromethyl, lower alkyl, lower
 23 alkylthio, lower alkylsulfonyl, lower alkylsulfonyllower alkyl,
 24 phenyllower alkylsulfonyl, phenylsulfonyllower alkyl, amino, mono-
 25 and di-(lower alkyl)amino, lower alkanoyl, a radical of the formula
 26 $R^6-C_pH_{2p}-O-$, wherein

27 p is an integer of from 1 to 6 inclusive; and

28 R^6 is a member selected from the group consisting
 29 of hydrogen, amino, cyano, phenyl, aminocarbonyl,
 30 mono- and di(lower alkyl)aminocarbonyl, lower alkyl-
 31 oxy carbonyl, phenyllower alkyl oxycarbonyl, 4-morpho-
 32 linyl carbonyl, 1-piperidinyl carbonyl and 1-pyrroli-
 33 dinyl carbonyl, lower alkenyl; and

34 a radical of the formula R^7-O- , wherein

35 R^7 is a member selected from the group consisting
 36 of alkanoyl, phenylcarbonyl, phenyllower alkylcarbonyl,
 37 lower alkyl oxycarbonyl, phenyllower alkyl oxycarbonyl,
 38 aminocarbonyl, phenylaminocarbonyl, mono- and di-
 39 (lower alkyl)aminocarbonyl and phenylcarbonyl,
 40 wherein said phenyl in the definition of said R^7 may
 41 be optionally substituted with up to 3 substituents each
 42 independently selected from the group consisting of
 43 halo, cyano, nitro, lower alkyl and lower alkyl oxy, and

44 wherein said aroyl in the definition of said L represents arylearbenyl
 45 (wherein said aryl is as defined hereabove).